

Title (en)

1-(2-(4-CYCLOPROPYL-1H-1,2,3-TRIAZOL-1-YL)ACETYL)-4-HYDROXY-N-(BENZYL)PYRROLIDIN E-2-CARBOXAMIDE DERIVATIVES AS VHL INHIBITORS FOR THE TREATMENT OF ANEMIA AND CANCER

Title (de)

1-(2-(4-CYCLOPROPYL-1H-1,2,3-TRIAZOL-1-YL)ACETYL)-4-HYDROXY-N-(BENZYL)PYRROLIDINE-2-CARBOXAMIDDERIVATE ALS VHL-HEMMER ZUR BEHANDLUNG VON ANÄMIE UND KREBS

Title (fr)

DÉRIVÉS DE 1-(2-(4-CYCLOPROPYL-1H-1,2,3-TRIAZOL-1-YL)ACÉTYL)-4-HYDROXY-N-(BENZYL)PYRROLIDIN E-2-CARBOXAMIDE UTILISÉS EN TANT QU'INHIBITEURS DE VHL POUR LE TRAITEMENT DE L'ANÉMIE ET DU CANCER

Publication

**EP 4244218 A1 20230920 (EN)**

Application

**EP 20825085 A 20201130**

Priority

- US 202063112609 P 20201111
- US 2020062627 W 20201130

Abstract (en)

[origin: WO2022103411A1] The present disclosure relates to 1-(2-(4-cyclopropyl-1H-I,2,3-triazol-l-yl)acetyl)-4-hydroxy-N-(benzyl)pyrrolidine-2-carboxamide derivatives and structurally related compounds as VHL inhibitors for the treatment of e.g. anemia (e.g. chronic anemia or anemia associated with chronic kidney disease, dialysis or cancer chemotherapy), ischemia, stroke or damage to the cardiovascular system during ischemia, or for enhancing wound healing, reducing scarring, or enhancing angiogenesis or arteriogenesis, and cancer. Exemplary compounds are e.g.: N-(3-([l,l'-biphenyl]-4-yl)-l-amino-l-oxopropan-2-yl)-l-(2-(4-cyclopropyl-IH-I,2,3-triazol-l-yl)-3-methylbutanoyl)-4-hydroxypyrrolidine-2-carboxamide; N-(3-([l,l'-biphenyl]-4-yl)-l-amino-l-oxopropan-2-yl)-l-(2-(4-cyclopropyl-IH-I,2,3-triazol-l-yl)propanoyl)-4-hydroxypyrrolidine-2-carboxamide; N-(3-([1,1'-biphenyl]-4-yl)-l-amino-l-oxopropan-2-yl)-1-(2-(4-cyclopropyl-1H-I,2,3-triazol-l-yl)-3,3-dimethylbutanoyl)-4-hydroxypyrrolidine-2-carboxamide; N-(3-([1,1'-biphenyl]-4-yl)-l-amino-1-oxopropan-2-yl)-1-(2-cyclobutyl-2-(4-cyclopropyl-1H-I,2,3-triazol-l-yl)acetyl)-4-hydroxypyrrolidine-2-carboxamide; 1-(2-(4-cyclopropyl-1H-1,2,3-triazol-1-yl)-3,3-dimethylbutanoyl)-4-hydroxy-N-(1-(4-(4-methylthiazol-5-yl)phenyl)ethyl)pyrrolidine-2-carboxamide; 1-(2-cyclohexyl-2-(4-cyclopropyl-1H-1,2,3-triazol-l-yl)acetyl)-4-hydroxy-N-(1-(4-(4-methylthiazol-5-yl)phenyl)ethyl)pyrrolidine-2-carboxamide; 1-(2-(adamantan-1-yl)-2-(4-cyclopropyl-1H-1,2,3-triazol-l-yl)acetyl)-4-hydroxy-N-(1-(4-(4-methylthiazol-5-yl)phenyl)ethyl)pyrrolidine-2-carboxamide; l-(2-(4-cyclopropyl-IH-I,2,3-triazol-l-yl)-3,3-dimethylpentanoyl)-4-hydroxy-N-(l-(4-(4-methylthiazol-5-yl)phenyl)ethyl)pyrrolidine-2-carboxamide.

IPC 8 full level

**C07D 403/06** (2006.01); **A61P 7/06** (2006.01); **A61P 9/00** (2006.01); **A61P 9/10** (2006.01); **A61P 35/00** (2006.01); **C07D 417/14** (2006.01)

CPC (source: EP IL KR US)

**A61K 31/4192** (2013.01 - KR); **A61K 31/427** (2013.01 - KR); **A61K 45/06** (2013.01 - US); **A61P 7/06** (2018.01 - EP IL KR);  
**A61P 9/00** (2018.01 - EP IL KR); **A61P 9/10** (2018.01 - EP IL); **A61P 17/02** (2018.01 - KR); **A61P 35/00** (2018.01 - EP IL KR);  
**C07D 403/06** (2013.01 - EP IL KR US); **C07D 405/14** (2013.01 - KR); **C07D 409/14** (2013.01 - KR US); **C07D 417/14** (2013.01 - EP IL KR US)

Designated contracting state (EPC)

AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MK MT NL NO PL PT RO RS SE SI SK SM TR

Designated extension state (EPC)

BA ME

Designated validation state (EPC)

KH MA MD TN

DOCDB simple family (publication)

**WO 2022103411 A1 20220519**; AU 2020476996 A1 20230629; CA 3197092 A1 20220519; CN 116783183 A 20230919;  
EP 4244218 A1 20230920; IL 302678 A 20230701; JP 2023549187 A 20231122; KR 20230106637 A 20230713; MX 2023005305 A 20230525;  
US 2023391766 A1 20231207

DOCDB simple family (application)

**US 2020062627 W 20201130**; AU 2020476996 A 20201130; CA 3197092 A 20201130; CN 202080108318 A 20201130;  
EP 20825085 A 20201130; IL 30267823 A 20230504; JP 2023528057 A 20201130; KR 20237018547 A 20201130; MX 2023005305 A 20201130;  
US 202318195134 A 20230509